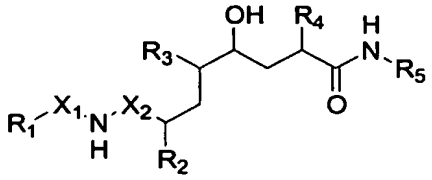
CLAIMS

We claim:

1. A method of treating or preventing Alzheimer's disease in a subject in need of such treatment comprising administering a therapeutically effective amount of a compound of Formula (I) or a pharmaceutically acceptable salt thereof:



(I)

wherein R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical,

wherein one of the radicals R_A and R_B is an aliphatic or heterecycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is an aliphatic radical,

R_3 is unsubstituted or aliphatically substituted amino,

R_4 is an aliphatic or araliphatic radical, and

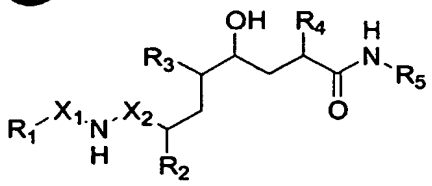
R_5 is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

2. A method of treating Alzheimer's disease in a subject in need of such treatment comprising administering to the subject a compound disclosed in claim 1, or a pharmaceutically acceptable salt thereof.

3. A method of treating Alzheimer's disease by modulating the activity of beta amyloid converting enzyme, comprising administering to a subject in need of such treatment a compound disclosed in claim 1, or a pharmaceutically acceptable salt thereof.

4. The method according to claim 1, further comprising the administration of a P-gp inhibitor, or a pharmaceutically acceptable salt thereof.

5. A method of treating a subject who has, or in preventing a subject from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating subjects with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which includes administration of a therapeutically effective amount of a compound of formula (I), or a pharmaceutically acceptable salt thereof:



(I)

wherein R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical,

wherein one of the radicals R_A and R_B is an aliphatic or heterecycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is an aliphatic radical,

R_3 is unsubstituted or aliphatically substituted amino,

R_4 is an aliphatic or araliphatic radical, and

R_5 is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

6. The method according to any of claim 1-5 wherein the compound of formula (I) is selected from the group consisting of:

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-3-methoxy-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-4-methoxy-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-3-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(7-Butylcarbamoyl-4-formylamino-5-hydroxy-2-isopropyl-octyl)-3-methoxy-2-(3-methoxypropoxy)-benzamide;

(2R,4S,5S,7R)-1-Benzyl-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-1-(2-Methoxyethyl)-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-1-Pyridin-2-yl-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-1-(2-Methoxybenzyl)-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2R,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-methyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2R,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-methyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-propoxy-benzamide;

(2S,4S,5S,7S)-N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(2-methoxyethoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(2-methoxyethoxy)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-methoxy-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-methoxy-3-(3-methoxypropoxy)-benzamide;

4S,5S,7S)-N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(propoxymethyl)-benzamide;

4S,5S,7S)-N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-acetamido-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(acetamido)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybut-2-enoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-methyl-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(3-methoxypropoxy)-nicotinamide;

(2S,4S,5S,7S)-N-[4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl]-3-(4-methoxybutoxy)-pyridine-2-carboxylic acid amide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-hydroxy-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(methoxymethoxy)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(2-methoxyethoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ethylcarbamoyl)-nonyl]-2-(3-methoxypropoxy)-nicotinamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-3-(4-methoxybutoxy)-pyridine-2-carboxylic acid amide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybut-2-enoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybutoxy)-4-methyl-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-methyl-nonyl]-2-(5-methoxypentyloxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(3-morpholin-4-ylpropylcarbamoyl)-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(morpholin-4-ylmethyl)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl-2-(4-methoxybutoxy)-4-[2-(morpholin-4-yl)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-[3-(dimethylamino)-propoxy]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(piperidin-1-yl)methyl-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(pyrrolidin-1-yl)methyl-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(2-piperidin-1-ylethoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-dimethylaminomethyl-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(4-methylpiperazin-1-yl)methyl-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-(4-acetylpiperazin-1-yl)methyl-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(3-aminopropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(2-aminoethoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(4-acetylpiperazin-1-yl)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(morpholin-4-yl)-ethyl]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(3-dimethylaminopropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[3-(morpholin-4-yl)-propoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(morpholin-4-yl)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2(4-methoxypiperidin-1-yl)-ethyl]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2(4-acetylpiperazin-1-yl)-ethyl]-benzamide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octanedioic acid 8-butylamide 1-[2-(3-methoxypropoxy)-benzyl]amide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octanedioic acid 8-butylamide 1-[3-(3-methoxypropoxy)-benzyl]amide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octandioic acid 8-butylamide 1-[2-(4-methoxybutoxy)-benzyl]amide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octandioic acid 8-butylamide 1-[2-(5-methoxypentyloxy)-benzyl]amide;

(2S,4S,5S,7S)-N1-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-N4-methyl-2-(4-methoxybutoxy)-terephthaldiamide;

(2S,4S,5S,7S)-N1-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-N4-[(2-morpholin-4-yl)-ethyl]-2-(4-methoxybutoxy)-terephthaldiamide;

(2S,4S,5S,7S)-N1-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-terephthaldiamide;

(2S,4S,5S,7S)-N4-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-3-(4-methoxybutoxy)-terephthalmic acid;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-butylcarbamoylmethoxy-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-[4-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonylcarbamoyl)-3-(4-methoxybutoxy)-phenoxy]-acetic acid;

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[2-(morpholin-4-yl)-ethylcarbamoyl]-nonyl}-2-(4-methoxybutoxy)-4-[2-(morpholin-4-yl)-ethylcarbamoylmethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(1H-tetrazol-5-ylmethoxy)-benzamide;

(2S,4S,5S,7S,2R')-N-[4-Amino-7-(2'-methylcarbamoyl-propylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-[2-(dimethylaminocarbamoyl)-ethylcarbamoyl]-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-(3-carbamoylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8 -methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[3-(morpholin-4-yl)-3-oxopropylcarbamoyl]-nonyl}-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-{7-[2-(4-Acetylpiperidin-1-yl)-ethylcarbamoyl]-4amino-5-hydroxy-2-isopropyl-8-methyl-nonyl}-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-thiomorpholin-4-ylethylcarbamoyl)-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(2-morpholin-4-ylmethoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methy-nonyl)-2-(4-methoxybutoxy)-4-(morpholin-4-ylmethyl)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(2-morpholin-4-ylethoxy)-benzamide;

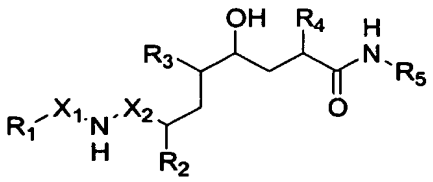
(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-7-[2-(4-methoxycarbonylpiperidin-1-yl)-ethylcarbamoyl]-8-methyl-nonyl}-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7R)-N-[4-Amino-5-hydroxy-2-methyl-7-[(2-morpholin-4-ylethyl)-carbamoyl]-octyl}-2-(3-methoxypropoxy)-benzamide; and

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[2-(morpholin-4-yl)-ethyl-carbamoyl]-nonyl}-4-carbamoylmethoxy-2-(4-methoxybutoxy)-benzamide;

or pharmaceutically acceptable salts thereof.

7. A method of treating or preventing Alzheimer's disease in a subject in need of such treatment comprising administering a therapeutically effective amount of a composition comprising one or more pharmaceutically acceptable carriers and a compound of Formula (I) or a pharmaceutically acceptable salt thereof:



(I)

wherein R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical,

wherein one of the radicals R_A and R_B is an aliphatic or heterecycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

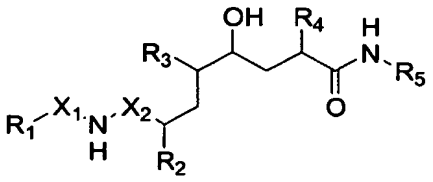
R_2 is an aliphatic radical,

R_3 is unsubstituted or aliphatically substituted amino,

R_4 is an aliphatic or araliphatic radical, and

R_5 is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

8. Use of a compound of Formula (I) in the manufacture of a medicament for the treatment of conditions selected from the group consisting of Alzheimer's disease, mild cognitive impairment (MCI) Down's syndrome, Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, cerebral amyloid angiopathy, degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease:



(I)

wherein R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical,

wherein one of the radicals R_A and R_B is an aliphatic or heterecycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

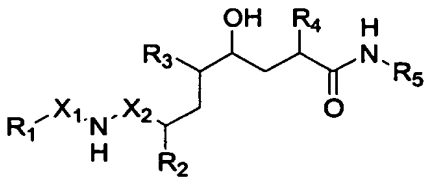
R_2 is an aliphatic radical,

R_3 is unsubstituted or aliphatically substituted amino,

R_4 is an aliphatic or araliphatic radical, and

R_5 is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

9. A method for inhibiting beta-secretase activity, comprising contacting an effective amount for inhibition of a compound of formula (I):



(I)

wherein R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical,

wherein one of the radicals R_A and R_B is an aliphatic or heterecycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or

heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

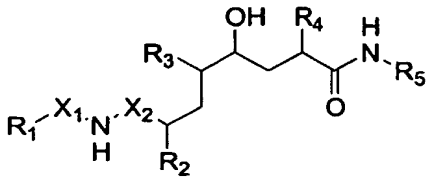
R_2 is an aliphatic radical,

R_3 is unsubstituted or aliphatically substituted amino,

R_4 is an aliphatic or araliphatic radical, and

R_5 is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

10. A method for inhibiting cleavage of an amyloid precursor protein (APP) isotype at a site in the APP isotype that is susceptible to cleavage, comprising contacting said APP isotype with an effective cleavage inhibitory amount of a compound of formula (I):



(I)

wherein R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical,

wherein one of the radicals R_A and R_B is an aliphatic or heterecycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or

heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

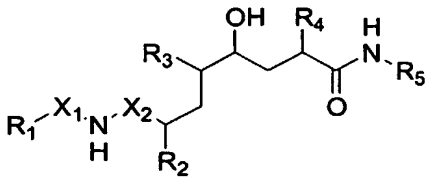
R_2 is an aliphatic radical,

R_3 is unsubstituted or aliphatically substituted amino,

R_4 is an aliphatic or araliphatic radical, and

R_5 is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

11. A method for inhibiting production of amyloid beta peptide (A beta) in a cell, comprising administering to said cell an effective inhibitory amount of a compound of formula (I):



(I)

wherein R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical,

wherein one of the radicals R_A and R_B is an aliphatic or heterecycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is an aliphatic radical,

R_3 is unsubstituted or aliphatically substituted amino,

R_4 is an aliphatic or araliphatic radical, and

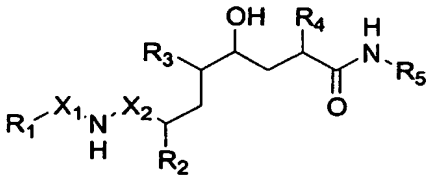
R_5 is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

12. The method of claim 11, wherein the cell is an animal cell.

13. The method of claim 12, wherein the animal cell is a mammalian cell.

14. The method of claim 13, wherein the mammalian cell is human.

15. A composition comprising beta-secretase complexed with a compound of formula (I):



(I)

wherein R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical,

wherein one of the radicals R_A and R_B is an aliphatic or heterecycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and

the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is an aliphatic radical,

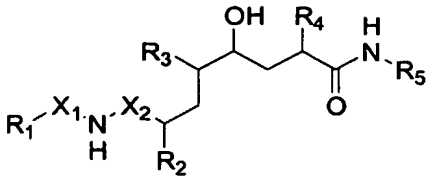
R_3 is unsubstituted or aliphatically substituted amino,

R_4 is an aliphatic or araliphatic radical, and

R_5 is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

16. A method for producing a beta-secretase complex comprising the composition of claim 15.

17. A method for inhibiting the production of beta-amyloid plaque in an animal, comprising administering to said animal an effective inhibiting amount of a compound of formula (I):



(I)

wherein R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical,

wherein one of the radicals R_A and R_B is an aliphatic or heterecycloaliphatic-aliphatic radical or free or aliphatically,

araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is an aliphatic radical,

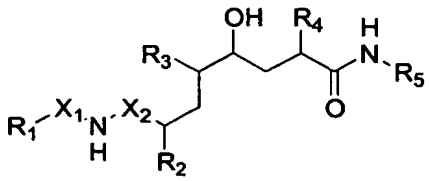
R_3 is unsubstituted or aliphatically substituted amino,

R_4 is an aliphatic or araliphatic radical, and

R_5 is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

18. The method of claim 17, wherein said animal is a human.

19. A method for treating or preventing a disease characterized by beta-amyloid deposits on or in the brain, comprising administering to a subject in need of such treatment or prevention an effective therapeutic amount of a compound of formula (I):



(I)

wherein R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical,

wherein one of the radicals R_A and R_B is an aliphatic or heterecycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is an aliphatic radical,

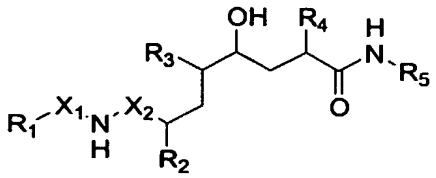
R_3 is unsubstituted or aliphatically substituted amino,

R_4 is an aliphatic or araliphatic radical, and

R_5 is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

20. A method of treatment according to any of claims 1-5, further comprising administration of one or more therapeutic agents selected from the group consisting of an antioxidant, an anti-inflammatory, a gamma secretase inhibitor, a neurotrophic agent, an acetyl cholinesterase inhibitor, a statin, an A beta peptide, and an anti-A beta peptide.

21. Use of a compound of Formula (I):



(I)

wherein R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical,

wherein one of the radicals R_A and R_B is an aliphatic or heterecycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is an aliphatic radical,

R_3 is unsubstituted or aliphatically substituted amino,

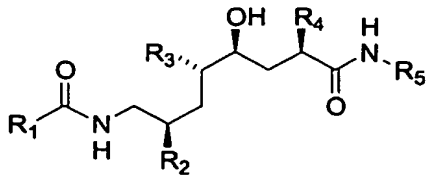
R_4 is an aliphatic or araliphatic radical, and

R_5 is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom;

for the manufacture of a medicament for the treatment of conditions selected from the group consisting of: Alzheimer's disease, mild cognitive impairment (MCI) Down's syndrome, Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, cerebral amyloid angiopathy, degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease.

22. A method of treating or preventing Alzheimer's disease in a subject in need of such treatment comprising administering

a therapeutically effective amount of a compound of Formula (I-A) or a pharmaceutically acceptable salt thereof:



(I-A)

wherein R_1 is a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical or a 3-R_A-pyridin-2-yl radical, wherein

R_A, is C_1-C_4 alkoxy-C_1-C_4 alkyl, such as propyloxymethyl, morpholino-C_1-C_4 alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl, C_1-C_7 alkanoylpiperazino-C_1-C_4 alkyl, such as N'-acetylpiperazinomethyl, C_1-C_7 alkoxy, such as propyloxy, C_1-C_4 alkoxy-C_1-C_4 alkoxy, such as 2-methoxyethoxy, 3-methoxypropyloxy, 4-methoxybutyloxy or 5-methoxypentyloxy, C_1-C_4 alkoxy-C_1-C_4 alkenyloxy, such as 4-methoxy-but-2-enyloxy, C_1-C_4 alkoxy-C_1 C_4 alkoxy, such as 2-(methoxymethoxy)ethoxy or 2-(2-methoxyethoxy)ethoxy, amino-C_1-C_4 alkoxy, such as 2-aminoethoxy or 3-aminopropyloxy, di-C_1-C_4 alkylamino-C_1-C_4 alkoxy, such as 3-dimethylaminopropyloxy, carbamoyl-C_1-C_4 alkoxy, such as 2-carbamoylethoxy, or carbamoyl, and

R_C is hydrogen, di-C_1-C_4 alkylamino-C_1-C_4 alkyl, such as dimethylaminomethyl, piperidino-C_1-C_4 alkyl, such as piperidinomethyl, pyrrolidino-C_1-C_4 alkyl, such as pyrrolidinomethyl, morpholino-C_1-C_4 alkyl, such as morpholinomethyl, C_1-C_7 alkanoylpiperazino-C_1-C_4 alkyl, such as N'-acetylpiperazinomethyl, or C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, such as N'-methylpiperazinomethyl, morpholino, C_1-C_4 alkoxy, such as methoxy, morpholino-C_1-C_4 alkoxy, such as 2-morpholinoethoxy or 3-morpholinopropyloxy, morpholino-C_1-C_4 alkylcarbamoyl-C_1-C_4 alkoxy, such as 2-morpholinoethylcarbamoylmethoxy, piperidino-C_1-C_4 alkoxy, such as 2-piperidinoethoxy, carboxy, carbamoyl, C_1-C_4 alkylcarbamoyl, such as methylcarbamoyl, carboxy-C_1-C_4 alkoxy, such as carboxymethoxy, di-C_1-C_4 alkylamino-C_1-C_4 alkoxy, such as

3-dimethylaminopropyloxy, C_1-C_7 alkylcarbamoyl-C_1-C_4 alkoxy, such as butylcarbamoylmethoxy, or tetrazolyl-C_1-C_4 alkoxy, such as tetrazol-5-ylmethoxy,

X_1 is carbonyl and X_2 is methylene,

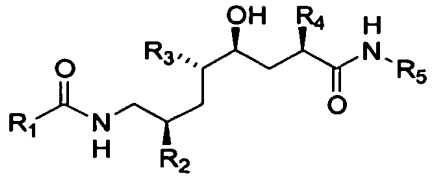
R_2 and R_4 are each independently of the other C_1-C_4 alkyl, such as methyl or isopropyl,

R_3 is amino and

R_5 is C_1-C_4 alkyl, such as butyl, morpholino-C_1-C_4 alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl, thiomorpholino-C_1-C_4 alkyl, such as 2-thiomorpholinoethyl, morpholinocarbonyl-C_1-C_4 alkyl, such as 2-morpholinocarbonylethyl, carbamoyl-C_1-C_4 alkyl, such as 3-carbamoylpropyl or 2-carbamoyl-2-methyl-ethyl, C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, such as 2-methylcarbamoyl-2-methyl-ethyl, di-C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, such as 2-dimethylcarbamoylethyl, N'-C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, such as N'-methylpiperazinomethyl, N'-C_1-C_4 alkoxycarbonylpiperazino-C_1-C_4 alkyl, such as N'-methoxycarbonylpiperazinomethyl, or N'-C_1-C_7 alkanoylpiperazino-C_1-C_4 alkyl, such as N'-acetylpiperazinomethyl.

23. A method of treating a subject who has, or in preventing a subject from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating subjects with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or

diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which includes administration of a therapeutically effective amount of a compound of formula (I-A), or a pharmaceutically acceptable salt thereof:



(I-A)

wherein R_1 is a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical or a 3-R_A-pyridin-2-yl radical, wherein

R_A, is C_1-C_4 alkoxy-C_1-C_4 alkyl, such as propyloxymethyl, morpholino-C_1-C_4 alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl, C_1-C_7 alkanoylpiperazino-C_1-C_4 alkyl, such as N'-acetylpiperazinomethyl, C_1-C_7 alkoxy, such as propyloxy, C_1-C_4 alkoxy-C_1-C_4 alkoxy, such as 2-methoxyethoxy, 3-methoxypropyloxy, 4-methoxybutyloxy or 5-methoxypentyloxy, C_1-C_4 alkoxy-C_1-C_4 alkenyloxy, such as 4-methoxy-but-2-enyloxy, C_1-C_4 alkoxy-C_1 C_4 alkoxy, such as 2-(methoxymethoxy)ethoxy or 2-(2-methoxyethoxy)ethoxy, amino-C_1-C_4 alkoxy, such as 2-aminoethoxy or 3-aminopropyloxy, di-C_1-C_4 alkylamino-C_1-C_4 alkoxy, such as 3-dimethylaminopropyloxy, carbamoyl-C_1-C_4 alkoxy, such as 2-carbamoylethoxy, or carbamoyl, and

R_C is hydrogen, di-C_1-C_4 alkylamino-C_1-C_4 alkyl, such as dimethylaminomethyl, piperidino-C_1-C_4 alkyl, such as piperidinomethyl, pyrrolidino-C_1-C_4 alkyl, such as pyrrolidinomethyl, morpholino-C_1-C_4 alkyl, such as morpholinomethyl, C_1-C_7 alkanoylpiperazino-C_1-C_4 alkyl, such as N'-acetylpiperazinomethyl, or C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, such as N'-methylpiperazinomethyl, morpholino, C_1-C_4 alkoxy, such as methoxy, morpholino-C_1-C_4 alkoxy, such as 2-morpholinoethoxy or 3-morpholinopropyloxy, morpholino-C_1-C_4 alkylcarbamoyl-C_1-C_4 alkoxy, such as 2-morpholinoethylcarbamoylmethoxy, piperidino-C_1-C_4 alkoxy, such as 2-piperidinoethoxy, carboxy, carbamoyl, C_1-C_4 alkylcarbamoyl, such as methylcarbamoyl, carboxy-C_1-C_4 alkoxy,

such as carboxymethoxy, di-C_1-C_4 alkylamino-C_1-C_4 alkoxy, such as 3-dimethylaminopropyloxy, C_1-C_7 alkylcarbamoyl-C_1-C_4 alkoxy, such as butylcarbamoylmethoxy, or tetrazolyl-C_1-C_4 alkoxy, such as tetrazol-5-ylmethoxy,

X_1 is carbonyl and X_2 is methylene,

R_2 and R_4 are each independently of the other C_1-C_4 alkyl, such as methyl or isopropyl,

R_3 is amino and

R_5 is C_1-C_4 alkyl, such as butyl, morpholino-C_1-C_4 alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl, thiomorpholino-C_1-C_4 alkyl, such as 2-thiomorpholinoethyl, morpholinocarbonyl-C_1-C_4 alkyl, such as 2-morpholinocarbonylethyl, carbamoyl-C_1-C_4 alkyl, such as 3-carbamoylpropyl or 2-carbamoyl-2-methyl-ethyl, C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, such as 2-methylcarbamoyl-2-methyl-ethyl, di-C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, such as 2-dimethylcarbamoylethyl, N'-C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, such as N'-methylpiperazinomethyl, N'-C_1-C_4 alkoxycarbonylpiperazino-C_1-C_4 alkyl, such as N'-methoxycarbonylpiperazinomethyl, or N'-C_1-C_7 alkanoylpiperazino-C_1-C_4 alkyl, such as N'-acetylpiperazinomethyl.

24. A method according to either claim 22 or 23, wherein the compound is selected from the group consisting of:

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-3-methoxy-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-4-methoxy-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-3-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(7-Butylcarbamoyl-4-formylamino-5-hydroxy-2-isopropyl-octyl)-3-methoxy-2-(3-methoxypropoxy)-benzamide;

(2R,4S,5S,7R)-1-Benzyl-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-1-(2-Methoxyethyl)-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-1-Pyridin-2-yl-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-1-(2-Methoxybenzyl)-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2R,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-methyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2R,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-methyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-propoxy-benzamide;

(2S,4S,5S,7S)-N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(2-methoxyethoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(2-methoxyethoxy)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-methoxy-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-methoxy-3-(3-methoxypropoxy)-benzamide;

4S,5S,7S)-N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(propoxymethyl)-benzamide;

4S,5S,7S)-N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-acetamido-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(acetamido)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybut-2-enoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-methyl-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(3-methoxypropoxy)-nicotinamide;

(2S,4S,5S,7S)-N-[4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl]-3-(4-methoxybutoxy)-pyridine-2-carboxylic acid amide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-hydroxy-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(methoxymethoxy)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(2-methoxyethoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ethylcarbamoyl)-nonyl]-2-(3-methoxypropoxy)-nicotinamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-3-(4-methoxybutoxy)-pyridine-2-carboxylic acid amide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybut-2-enoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybutoxy)-4-methyl-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-methyl-nonyl]-2-(5-methoxypentyloxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(3-morpholin-4-ylpropylcarbamoyl)-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(morpholin-4-ylmethyl)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl-2-(4-methoxybutoxy)-4-[2-(morpholin-4-yl)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-[3-(dimethylamino)-propoxy]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(piperidin-1-yl)methyl-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(pyrrolidin-1-yl)methyl-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(2-piperidin-1-ylethoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-dimethylaminomethyl-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(4-methylpiperazin-1-yl)methyl-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-(4-acetylpiperazin-1-yl)methyl-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(3-aminopropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(2-aminoethoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(4-acetylpiperazin-1-yl)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(morpholin-4-yl)-ethyl]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(3-dimethylaminopropoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[3-(morpholin-4-yl)-propoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(morpholin-4-yl)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2(4-methoxypiperidin-1-yl)-ethyl]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2(4-acetylpiperazin-1-yl)-ethyl]-benzamide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octanedioic acid 8-butylamide 1-[2-(3-methoxypropoxy)-benzyl]amide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octanedioic acid 8-butylamide 1-[3-(3-methoxypropoxy)-benzyl]amide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octandioic acid 8-butylamide 1-[2-(4-methoxybutoxy)-benzyl]amide;

(2S,4S,5S,7S)-4-Amino-5-hydroxy-2,7-diisopropyl-octandioic acid 8-butylamide 1-[2-(5-methoxypentyloxy)-benzyl]amide;

(2S,4S,5S,7S)-N1-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-N4-methyl-2-(4-methoxybutoxy)-terephthaldiamide;

(2S,4S,5S,7S)-N1-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-N4-[(2-morpholin-4-yl)-ethyl]-2-(4-methoxybutoxy)-terephthaldiamide;

(2S,4S,5S,7S)-N1-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-terephthaldiamide;

(2S,4S,5S,7S)-N4-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-3-(4-methoxybutoxy)-terephthalmic acid;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-butylcarbamoylmethoxy-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-[4-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonylcarbamoyl)-3-(4-methoxybutoxy)-phenoxy]-acetic acid;

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[2-(morpholin-4-y l)-ethylcarbamoyl]-nonyl}-2-(4-methoxybutoxy)-4-[2-(morpholin-4-yl)-ethylcarbamoylmethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(1H-tetrazol-5-ylmethoxy)-benzamide;

(2S,4S,5S,7S,2R')-N-[4-Amino-7-(2'-methylcarbamoyl-propylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-[2-(dimethylaminocarbamoyl)-ethylcarbamoyl]-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-(3-carbamoylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8 -methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[3-(morpholin-4-yl)-3-oxopropylcarbamoyl]-nonyl}-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-{7-[2-(4-Acetylpiperidin-1-yl)-ethylcarbamoyl]-4amino-5-hydroxy-2-isopropyl-8-methyl-nonyl}-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-thiomorpholin-4-ylethylcarbamoyl)-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(2-morpholin-4-ylmethoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methy-nonyl)-2-(4-methoxybutoxy)-4-(morpholin-4-ylmethyl)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(2-morpholin-4-ylethoxy)-benzamide;

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-7-[2-(4-methoxycarbonylpiperidin-1-yl)-ethylcarbamoyl]-8-methyl-nonyl}-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7R)-N-[4-Amino-5-hydroxy-2-methyl-7-[(2-morpholin-4-ylethyl)-carbamoyl]-octyl}-2-(3-methoxypropoxy)-benzamide; and

(2S,4S,5S,7S)-N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[2-(morpholin-4-yl)-ethyl-carbamoyl]-nonyl}-4-carbamoylmethoxy-2-(4-methoxybutoxy)-benzamide;

or a pharmaceutically acceptable salt thereof.

25. A method according to claim 5, wherein

R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical, a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical, wherein

one of the radicals R_A and R_B is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically,

araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R_C is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heteroaraliphatically or heteroarylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R_D is an aliphatic, araliphatic or heteroaliphatic radical,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is an aliphatic radical,

R_3 is unsubstituted or aliphatically substituted amino,

R_4 is an aliphatic or araliphatic radical, and

R_5 is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom,

or a pharmaceutically acceptable salt thereof.

26. The method according to claim 25, wherein

R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical, a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical,

wherein one of the radicals R_A and R_B is lower alkyl, hydroxy-lower alkyl, lower alkanoyloxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl; an amino-lower alkyl or amino-lower alkoxy radical that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-, lower alkoxy- or lower alkoxy-lower alkoxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxycarbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkanoyloxy-lower alkoxy, lower alkoxy-lower

alkoxy, lower alkoxy-lower alkoxy-lower alkoxy, polyhalo-lower alkoxy, cyano-lower alkoxy, unsubstituted or substituted phenyl- or pyridyl-lower alkoxy, lower alkoxy-lower alkenyloxy, optionally S-oxidised lower alkylthio-lower alkoxy, or amino-lower alkoxy that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di-lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-, lower alkoxy- or lower alkoxy-lower alkoxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxycarbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; and the other is hydrogen, lower alkyl, carbamoyl, hydroxy, lower alkoxy or polyhalo-lower alkoxy,

R_C is hydrogen, lower alkyl, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, morpholino-lower alkylcarbamoyl-lower alkoxy, lower alkoxy-lower alkoxy-lower alkyl; an amino, amino-lower alkyl or amino-lower alkoxy group that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di-lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-, lower alkoxy-, lower alkoxycarbonyl- or lower alkoxy-lower alkoxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxycarbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; or a free or amidated carboxy or carboxy-lower alkoxy group or tetrazolyl-lower alkoxy, and

R_D is lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, hydroxy-lower alkoxy-lower alkyl, a free or amidated carboxy or carboxy-lower alkyl group or an unsubstituted or substituted phenyl- or pyridyl-lower alkyl group, one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is lower alkyl,

R_3 is unsubstituted or N-lower alkanoylated or N-mono- or N, N-di-lower alkylated amino,

R_4 is lower alkyl or phenyl-lower alkyl, and

R_5 is lower alkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkanoyloxy-lower alkyl; amino-lower alkyl that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di-lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-, lower alkoxy-, lower alkoxy-lower alkyl- or lower alkanoyloxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxycarbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; free or esterified or amidated carboxy-lower alkyl, cyano-lower alkyl, free or esterified or amidated dicarboxy-lower alkyl, free or esterified or amidated carboxy(hydroxy)-lower alkyl, free or esterified or amidated carboxycycloalkyl-lower alkyl, lower alkanesulfonyl-lower alkyl, unsubstituted or N-mono- or N,N-di-lower alkylated thio carbamoyl-lower alkyl, unsubstituted or N-mono- or N,N-di-lower alkylated sulfamoyl-lower alkyl or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or lower alkyl substituted by an optionally hydrogenated and/or oxo-substituted heteroaryl radical that is bonded via a carbon atom,

or a pharmaceutically acceptable salt thereof.

27. A method according to claim 25 wherein,

R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical, a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical, wherein

one of the radicals R_A and R_B is lower alkyl, hydroxy-lower alkyl, lower alkanoyloxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, amino-lower alkyl, lower alkanoylamino-lower alkyl, lower alkylamino-lower alkyl, di-lower alkylamino-lower alkyl; piperidino- or pyrrolidino-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower

alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkyl, optionally S-oxidised thiomorpholino-lower alkyl, amino-lower alkoxy, lower alkanoylamino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy; piperidino- or pyrrolidino-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkoxy, optionally S-oxidised thiomorpholio-lower alkoxy, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkanoyloxy-lower alkoxy, lower alkoxy-lower alkoxy, lower alkoxy-lower alkoxy-lower alkoxy, polyhalo-lower alkoxy, cyano-lower alkoxy; phenyl- or pyridyl-lower alkoxy that is unsubstituted or substituted by lower alkyl, lower alkoxy, hydroxy, nitro, amino, lower alkylamino, di-lower alkylamino, halogen and/or by trifluoromethyl; lower alkoxy-lower alkenyloxy, lower alkylthio-lower alkoxy, lower alkanesulfinyl-lower alkoxy, lower alkanesulfonyl-lower alkoxy, amino-lower alkoxy, lower alkanoylamino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy; piperidino- or pyrrolidino-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkoxy or optionally S-oxidised thiomorpholino-lower alkoxy, and the other is hydrogen, carbamoyl, hydroxy, lower alkoxy or polyhalo-lower alkoxy,

R_C is hydrogen, lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, amino-lower alkyl, lower alkanoylamino-lower alkyl, lower alkylamino-lower alkyl, di-lower alkylamino-lower alkyl; piperidino- or pyrrolidino-lower alkyl that is

unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkyl, optionally S-oxidised thiomorpholino-lower alkyl, di-lower alkylamino; a piperidino or pyrrolidino group that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino, optionally S-oxidised thiomorpholino, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, morpholino-lower alkylcarbamoyl-lower alkoxy, amino-lower alkoxy, lower alkanoylamino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy; piperidino- or pyrrolidino-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkoxy, optionally S-oxidised thiomorpholino-lower alkoxy, carboxy-lower alkoxy, carbamoyl-lower alkoxy, lower alkylcarbamoyl-lower alkoxy, di-lower alkylcarbamoyl-lower alkoxy; piperidino- or pyrrolidino-carbonyl-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkoxy, optionally S-oxidised thiomorpholinocarbonyl-lower alkoxy, tetrazolyl-lower alkoxy, carboxy, carbamoyl, lower alkylcarbamoyl or di-lower alkylcarbamoyl, and R_D is lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower

alkoxy-lower alkoxy-lower alkyl, hydroxy-lower alkoxy-lower alkyl, carboxy, lower alkoxycarbonyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl, carbamoyl-lower alkyl, lower alkylcarbamoyl-lower alkyl, di-lower alkylcarbamoyl-lower alkyl; piperidino- or pyrrolidino-carbonyl-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkyl, optionally S-oxidised thiomorpholinocarbonyl-carbonyl-lower alkyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl or a phenyl- or pyridyl-lower alkyl group that is unsubstituted or substituted by lower alkyl, lower alkoxy, hydroxy, nitro, amino, lower alkylamino, di-lower alkylamino, halogen and/or by trifluoromethyl,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is lower alkyl,

R_3 is amino, lower alkanoylamino, lower alkylamino or di-lower alkylamino,

R_4 is lower alkyl or phenyl-lower alkyl and

R_5 is lower alkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkanoyloxy-lower alkyl; piperidino- or pyrrolidino-carbonyl-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkyl, optionally S-oxidised thiomorpholinocarbonyl-lower alkyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl, carbamoyl-lower alkyl, lower alkylcarbamoyl-lower alkyl, di-lower alkylcarbamoyl-lower alkyl; piperidino- or pyrrolidinocarbonyl-lower alkyl that is unsubstituted or

substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkyl, optionally S-oxidised thiomorpholinocarbonyl-lower alkyl, cyano-lower alkyl, dicarboxy-lower alkyl, lower alkoxycarbonyl(carbonyl)-lower alkyl, di-lower alkoxycarbonyl-lower alkyl, dicarbamoyl-lower alkyl, carbamoyl(carboxy)-lower alkyl, di-(lower alkylcarbamoyl)-lower alkyl, di-(di-lower alkylcarbamoyl)-lower alkyl, carboxy(hydroxy)-lower alkyl, lower alkoxycarbonyl(hydroxy)-lower alkyl, carbamoyl(hydroxy)-lower alkyl, lower alkylcarbamoyl(hydroxy)-lower alkyl or di-lower alkylcarbamoyl(hydroxy)-lower alkyl, carboxycycloalkyl-lower alkyl, lower alkoxycarbonylcycloalkyl-lower alkyl, carbamoylcycloalkyl-lower alkyl, lower alkylcarbamoylcycloalkyl-lower alkyl, di-lower alkylcarbamoylcycloalkyl-lower alkyl, lower alkanesulfonyl-lower alkyl, thiocarbamoyl-lower alkyl, N-lower alkylthiocarbamoyl-lower alkyl or N,N-di-lower alkylthiocarbamoyl-lower alkyl, sulfamoyl-lower alkyl, lower alkylsulfamoyl-lower alkyl or di-lower alkylsulfamoyl-lower alkyl, unsubstituted or oxo-substituted pyrrolidinyl, imidazolyl, benzimidazolyl, oxadiazolyl, pyridyl, oxopiperidinyl, dioxopiperidinyl, oxothiazolyl, oxo-oxazolinyl or quinolinyl, unsubstituted or oxo-substituted pyrrolidinyl-lower alkyl, imidazolyl-lower alkyl, benzimidazolyl-lower alkyl, oxadiazolyl-lower alkyl, pyridyl-lower alkyl, oxopiperidinyl-lower alkyl, dioxopiperidinyl-lower alkyl, oxothiazolyl-lower alkyl, oxo-oxazolinyl-lower alkyl or quinolinyl-lower alkyl, morpholinocarbonyl-lower alkyl or unsubstituted or N-lower alkanoylated piperidyl-lower alkyl or unsubstituted or N-lower alkanoylated piperidyl,

or a pharmaceutically acceptable salt thereof.

28. A method according to claim 25 wherein,

R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical, a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical, wherein

one of the radicals R_A and R_B is C_1-C_4 alkyl, hydroxy-C_1-C_4 alkyl, C_1-C_4 alkanoyloxy-C_1-C_4 alkyl, C_1-C_4 alkoxy-C_1-C_4 alkyl, C_1-C_4 alkoxy-C_1-C_4 alkoxy-C_1-C_4 alkyl, amino-C_1-C_4 alkyl, C_1-C_4 alkanoylamino-C_1-C_4 alkyl, C_1-C_4 alkylamino-C_1-C_4 alkyl, di-C_1-C_4 alkylamino-C_1-C_4 alkyl, piperidino-C_1-C_4-alkyl, hydroxypiperidino-C_1-C_4 alkyl, C_1-C_4 alkoxypiperidino-C_1-C_4 alkyl, C_1-C_4 alkoxy-C_1-C_4-alkoxypiperidino-C_1-C_4 alkyl, C_1-C_4 alkoxycarbonylpiperidino-C_1-C_4 alkyl, pyrrolidino-C_1-C_4 alkyl, hydroxypyrrolidino-C_1-C_4 alkyl, C_1-C_4 alkoxypyrrolidino-C_1-C_4 alkyl, C_1-C_4 alkoxy-C_1-C_4 alkoxypyrrolidino-C_1-C_4 alkyl, piperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, N'-C_1-C_4-alkanoylpiperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkoxycarbonylpiperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkoxy-C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, morpholino-C_1-C_4 alkyl, C_1-C_4 alkylmorpholino-C_1-C_4 alkyl, thiomorpholino-C_1-C_4 alkyl, S-oxythiomorpholino-C_1-C_4 alkyl, S,S-dioxythiomorpholino-C_1-C_4 alkyl, C_1-C_7 alkoxy, such as propyloxy, amino-C_1-C_7 alkoxy, C_1-C_4 alkanoylamino-C_1-C_4 alkoxy, C_1-C_4 alkylamino-C_1-C_4 alkoxy, di-C_1-C_4 alkylamino-C_1-C_4 alkoxy, piperidino-C_1-C_4 alkoxy, hydroxypiperidino-C_1-C_4 alkoxy, C_1-C_4 alkoxypiperidino-C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4-alkoxypiperidino-C_1-C_4 alkoxy, pyrrolidino-C_1-C_4 alkoxy, hydroxypyrrolidino-C_1-C_4 alkoxy, C_1-C_4-alkoxypyrrolidino-C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxypyrrolidino-C_1-C_4 alkoxy, piperazino-C_1-C_4 alkoxy, N'-C_1-C_4 alkylpiperazino-C_1-C_4 alkoxy, N'-C_1-C_4 alkanoylpiperazino-C_1-C_4 alkoxy, N'-C_1-C_4 alkoxycarbonylpiperazino-C_1-C_4 alkoxy, N'-C_1-C_4 alkoxy-C_1-C_4 alkylpiperazino-C_1-C_4 alkoxy, morpholino-C_1-C_4 alkoxy or C_1-C_4 alkylmorpholino-C_1-C_4 alkoxy, thiomorpholino-C_1-C_4 alkoxy, S-oxythiomorpholino-C_1-C_4 alkoxy, S,S-dioxythiomorpholino-C_1-C_4 alkoxy, hydroxy, hydroxy-C_1-C_4 alkoxy, C_1-C_4 alkanoyloxy-C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxy-C_1-C_4 alkoxy, polyhalo-C_1-C_4 alkoxy, cyano-C_1-

C_4 alkoxy, carbamoyl-C_1-C_4 alkoxy, such as 2-carbamoylethoxy; phenyl- or pyridyl-C_1-C_4 alkoxy that is unsubstituted or substituted by C_1-C_4 alkyl, C_1-C_4 alkoxy, hydroxy, nitro, amino, C_1-C_4 alkylamino, di-C_1-C_4 alkylamino, halogen and/or by trifluoromethyl; C_1-C_4 alkoxy-C_1-C_4 alkenyloxy, C_1-C_4 alkylthio-C_1-C_4 alkoxy, C_1-C_4 alkanesulfinyl-C_1-C_4 alkoxy, C_1-C_4 alkanesulfonyl-C_1-C_4 alkoxy, amino-C_1-C_7 alkoxy, C_1-C_4 alkanoylamino-C_1-C_4 alkoxy, C_1-C_4 alkylamino-C_1-C_4 alkoxy, di-C_1-C_4 alkylamino-C_1-C_4 alkoxy, piperidino-C_1-C_4 alkoxy, hydroxypiperidino-C_1-C_4 alkoxy, C_1-C_4 alkoxypiperidino-C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxypiperidino-C_1-C_4 alkoxy, pyrrolidino-C_1-C_4 alkoxy, hydroxypyrrolidino-C_1-C_4 alkoxy, C_1-C_4 alkoxypyrrolidino-C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxypyrrolidino-C_1-C_4 alkoxy, piperazino-C_1-C_4 alkoxy, N'-C_1-C_4 alkylpiperazino-C_1-C_4 alkoxy, N'-C_1-C_4 alkanoylpiperazino-C_1-C_4 alkoxy, N'-C_1-C_4 alkoxycarbonylpiperazino-C_1-C_4 alkoxy, N'-C_1-C_4 alkoxy-C_1-C_4 alkylpiperazino-C_1-C_4 alkoxy, morpholino-C_1-C_4 alkoxy or C_1-C_4 alkylmorpholino-C_1-C_4 alkoxy or thiomorpholino-C_1-C_4 alkoxy, and the other is hydrogen, carbamoyl, C_1-C_4 alkyl, hydroxy, C_1-C_4 alkoxy or trihalo-C_1-C_4 alkoxy, R_C is hydrogen, hydroxy, di-C_1-C_4 alkylamino, piperidino, pyrrolidino, morpholino, thiomorpholino, S-oxythiomorpholino, S,S-dioxythiomorpholino, C_1-C_4 alkoxy, hydroxy-C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxy, morpholino-C_1-C_4 alkylcarbamoyl-C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxy-C_1-C_4 alkyl, amino-C_1-C_4 alkyl, C_1-C_4 alkanoylamino-C_1-C_4 alkyl, C_1-C_4 alkylamino-C_1-C_4 alkyl, di-C_1-C_4 alkylamino-C_1-C_4 alkyl; piperidino- or pyrrolidino-C_1-C_4 alkyl that is unsubstituted or substituted by hydroxy, C_1-C_4 alkoxy or by C_1-C_4 alkoxy-C_1-C_4 alkyl; amino-C_1-C_4 alkyl, C_1-C_4 alkanoylamino-C_1-C_4 alkyl, C_1-C_4 alkylamino-C_1-C_4 alkyl, di-C_1-C_4 alkylamino-C_1-C_4 alkyl, piperidino-C_1-C_4 alkyl, hydroxypiperidino-C_1-C_4 alkyl, C_1-C_4 alkoxypiperidino-C_1-C_4 alkyl, C_1-C_4 alkoxy-C_1-C_4 alkoxypiperidino-C_1-C_4 alkyl, C_1-C_4 alkoxycarbonylpiperidino-C_1-C_4 alkyl, pyrrolidino-C_1-C_4 alkyl, hydroxypyrrolidino-C_1-C_4 alkyl, C_1-C_4 alkoxypyrrolidino-C_1-C_4

alkyl, C_1-C_4 alkoxy-C_1-C_4 alkoxypyrolidino-C_1-C_4 alkyl, piperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkanoylpiperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkoxycarbonylpiperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkoxy-C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, morpholino-C_1-C_4 alkyl, C_1-C_4 alkylmorpholino-C_1-C_4 alkyl, thiomorpholino-C_1-C_4 alkyl, S-oxythiomorpholino-C_1-C_4 alkyl, S,S-dioxythiomorpholino-C_1-C_4 alkyl, amino-C_1-C_7 alkoxy, C_1-C_4 alkanoylamino-C_1-C_4 alkoxy, C_1-C_4 alkylamino-C_1-C_4 alkoxy, di-C_1-C_4 alkylamino-C_1-C_4 alkoxy, piperidino-C_1-C_4 alkoxy, hydroxypiperidino-C_1-C_4 alkoxy, C_1-C_4 alkoxypiperidino-C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxypiperidino-C_1-C_4 alkoxy, pyrrolidino-C_1-C_4 alkoxy, hydroxypyrrolidino-C_1-C_4 alkoxy, C_1-C_4 alkoxypyrrolidino-C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxypyrrolidino-C_1-C_4 alkoxy, piperazino-C_1-C_4 alkoxy, N'-C_1-C_4 alkylpiperazino-C_1-C_4 alkoxy, N'-C_1-C_4 alkanoylpiperazino-C_1-C_4 alkoxy, N'-C_1-C_4 alkoxycarbonylpiperazino-C_1-C_4 alkoxy, N'-C_1-C_4 alkoxy-C_1-C_4 alkylpiperazino-C_1-C_4 alkoxy, morpholino-C_1-C_4 alkoxy or C_1-C_4 alkylmorpholino-C_1-C_4 alkoxy, thiomorpholino-C_1-C_4 alkoxy, S-oxythiomorpholino-C_1-C_4 alkoxy, S,S-dioxythiomorpholino-C_1-C_4 alkoxy, carboxy-C_1-C_4 alkoxy, carbamoyl-C_1-C_4 alkoxy, C_1-C_4 alkylcarbamoyl-C_1-C_4 alkoxy, di-C_1-C_4-alkylcarbamoyl-C_1-C_4 alkoxy, di-C_1-C_4 alkylamino-C_1-C_4 alkoxy, such as 3-dimethylaminopropyloxy, piperidinocarbonyl-C_1-C_4 alkoxy, hydroxypiperidinocarbonyl-C_1-C_4 alkoxy, C_1-C_4 alkoxypiperidinocarbonyl-C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxypiperidinocarbonyl-C_1-C_4 alkoxy, pyrrolidinocarbonyl-C_1-C_4 alkoxy, hydroxypiperidinocarbonyl-C_1-C_4 alkoxy, C_1-C_4 alkoxypyrrolidinocarbonyl-C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxypyrrolidinocarbonyl-C_1-C_4 alkoxy, piperazinocarbonyl-C_1-C_4 alkoxy, N'-C_1-C_4 alkylpiperazinocarbonyl-C_1-C_4 alkoxy, N'-C_1-C_4 alkanoylpiperazinocarbonyl-C_1-C_4 alkoxyl, N'-C_1-C_4 alkoxycarbonylpiperazinocarbonyl or N'-C_1-C_4 alkoxy-C_1-C_4 alkylipiperazinocarbonyl-C_1-C_4 alkoxy, morpholinocarbonyl-C_1-C_4 alkoxy, C_1-C_4 alkylmorpholinocarbonyl-C_1-C_4 alkoxy,

thiomorpholinocarbonyl-C_1-C_4 alkoxy, S-oxythiomorpholinocarbonyl, S,S-dioxythiomorpholinocarbonyl-C_1-C_4 alkoxy, tetrazolyl-C_1-C_4 alkoxy, carboxy, carbamoyl or C_1-C_4 alkylcarbamoyl, such as methylcarbamoyl, and

R_D is C_1-C_4 alkyl, hydroxy-C_1-C_4 alkyl, C_1-C_4 alkoxy-C_1-C_4 alkyl, C_1-C_4 alkoxy-C_1-C_4 alkoxy-C_1-C_4 alkyl, hydroxy-C_1-C_4 alkoxy-C_1-C_4 alkyl, carboxy, C_1-C_4 alkoxycarbonyl, carboxy-C_1-C_4 alkyl, C_1-C_4 alkoxycarbonyl-C_1-C_4 alkyl, carbamoyl-C_1-C_4 alkyl, C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, di-C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, piperidino-C_1-C_4 alkyl, hydroxypiperidino-C_1-C_4 alkyl, C_1-C_4 alkoxypiperidino-C_1-C_4 alkyl, C_1-C_4 alkoxy-C_1-C_4 alkoxypiperidino-C_1-C_4 alkyl, C_1-C_4 alkoxycarbonylpiperidino-C_1-C_4 alkyl, pyrrolidino-C_1-C_4 alkyl, hydroxypyrrolidino-C_1-C_4 alkyl, C_1-C_4 alkoxypyrrolidino-C_1-C_4 alkyl, C_1-C_4 alkoxy-C_1-C_4 alkoxypyrrolidino-C_1-C_4 alkyl, piperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkanoylpiperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkoxycarbonylpiperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkoxy-C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, morpholino-C_1-C_4 alkyl, C_1-C_4 alkylmorpholino-C_1-C_4 alkyl, thiomorpholino-C_1-C_4 alkyl, S-oxythiomorpholino-C_1-C_4 alkyl, S,S-dioxythiomorpholino-C_1-C_4 alkyl, carboxy-C_1-C_4 alkyl, C_1-C_4 alkoxycarbonyl-C_1-C_4 alkyl, or is phenyl-C_1-C_4 alkyl or pyridyl-C_1-C_4 alkyl that is unsubstituted or substituted by C_1-C_4 alkyl, C_1-C_4 alkoxy, hydroxy, nitro, amino, C_1-C_4 alkylamino, di-C_1-C_4 alkylamino, halogen and/or by trifluoromethyl,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is C_1-C_4 alkyl,

R_3 is amino, C_1-C_4 alkanoylamino, C_1-C_4 alkylamino or di-C_1-C_4 alkylamino,

R_4 is C_1-C_4 alkyl or phenyl-C_1-C_4 alkyl, and

R_5 is C_1-C_4 alkyl, cycloalkyl-C_1-C_4 alkyl, hydroxy-C_1-C_4 alkyl, C_1-C_4 alkoxyl-C_1-C_4 alkyl, C_1-C_4 alkanoyloxy-C_1-C_4 alkyl, piperidino-C_1-C_4 alkyl, hydroxypiperidino-C_1-C_4 alkyl, C_1-C_4 alkoxypiperidino-C_1-C_4 alkyl, C_1-C_4 alkoxy-C_1-C_4 alkoxypiperidino-

C_1-C_4 alkyl, C_1-C_4 alkoxycarbonylpiperidino-C_1-C_4 alkyl, pyrrolidino-C_1-C_4 alkyl, hydroxypyrrolidino-C_1-C_4 alkyl, C_1-C_4 alkoxypyrrolidino-C_1-C_4 alkyl, C_1-C_4 alkoxy-C_1-C_4 alkoxypyrrolidino-C_1-C_4 alkyl, piperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkanoylpiperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkoxycarbonylpiperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkoxy-C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, morpholino-C_1-C_4 alkyl, C_1-C_4 alkylmorpholino-C_1-C_4 alkyl, thiomorpholino-C_1-C_4 alkyl, S-oxythiomorpholino-C_1-C_4 alkyl, S,S-dioxythiomorpholino-C_1-C_4 alkyl, carboxy-C_1-C_4 alkyl, C_1-C_4 alkoxycarbonyl-C_1-C_4 alkyl, carbamoyl-C_1-C_4 alkyl, C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, di-C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, piperidinocarbonyl-C_1-C_4 alkyl, hydroxypiperidinocarbonyl-C_1-C_4 alkyl, C_1-C_4 alkoxypiperidinocarbonyl-C_1-C_4 alkyl, C_1-C_4 alkoxy-C_1-C_4 alkoxypiperidinocarbonyl-C_1-C_4 alkyl, pyrrolidinocarbonyl-C_1-C_4 alkyl, hydroxypyrrolidinocarbonyl-C_1-C_4 alkyl, C_1-C_4 alkoxypyrrolidinocarbonyl-C_1-C_1 alkyl, C_1-C_4 alkoxy-C_1-C_4 alkoxypyrrolidinocarbonyl-C_1-C_4 alkyl, piperazinocarbonyl-C_1-C_4 alkyl, N'-C_1-C_4 alkylpiperazinocarbonyl-C_1-C_4 alkyl, N'-C_1-C_4 alkanoylpiperazinocarbonyl-C_1-C_4 alkyl, N'-C_1-C_4 alkoxycarbonylpiperazinocarbonyl, N'-C_1-C_4 alkoxy-C_1-C_4 alkylpiperazinocarbonyl-C_1-C_4 alkyl, morpholinocarbonyl-C_1-C_4 alkyl, C_1-C_4 alkylmorpholinocarbonyl-C_1-C_4 alkyl, thiomorpholinocarbonyl-C_1-C_4 alkyl, S-oxythiomorpholinocarbonyl-C_1-C_4 alkyl, S,S-dioxythiomorpholinocarbonyl-C_1-C_4 alkyl, carbamoyl-C_1-C_4 alkyl, C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, di-C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, cyano-C_1-C_4 alkyl, dicarboxy-C_1-C_4 alkyl, C_1-C_4 alkoxycarbonyl(carboxy)-C_1-C_4 alkyl, di-C_1-C_4 alkoxycarbonyl-C_1-C_4 alkyl, dicarbamoyl-C_1-C_4 alkyl, carbamoyl(carboxy)-C_1-C_4 alkyl, di-(C_1-C_4 alkylcarbamoyl)-C_1-C_4 alkyl, di-(di-C_1-C_4 alkylcarbamoyl)-C_1-C_4 alkyl, carboxy(hydroxy)-C_1-C_4 alkyl, C_1-C_4 alkoxycarbonyl(hydroxy)-C_1-C_4 alkyl, carbamoyl(hydroxy)-C_1-C_4 alkyl, C_1-C_4 alkylcarbamoyl(hydroxy)-C_1-C_4 alkyl or di-C_1-C_4 alkylcarbamoyl(hydroxy)-C_1-C_4 alkyl, carboxycycloalkyl-C_1-C_4

alkyl, C_1-C_4 alkoxycarbonylcycloalkyl-C_1-C_4 alkyl, carbamoylcycloalkyl-C_1-C_4 alkyl, C_1-C_4 alkylcarbamoylcycloalkyl-C_1-C_4 alkyl, di-C_1-C_4 alkylcarbamoylcycloalkyl-C_1-C_4 alkyl, C_1-C_4 alkanesulfonyl-C_1-C_4 alkyl, thiocarbamoyl-C_1-C_4 alkyl, N-C_1-C_4 alkylthiocarbamoyl-C_1-C_4 alkyl or N,N-di-C_1-C_4 alkylthiocarbamoyl-C_1-C_4 alkyl, sulfamoyl-C_1-C_4 alkyl, C_1-C_4 alkylsulfamoyl-C_1-C_4 alkyl or di-C_1-C_4 alkylsulfamoyl-C_1-C_4 alkyl, unsubstituted or oxo-substituted pyrrolidinyl, imidazolyl, benzimidazolyl, oxadiazolyl, pyridyl, oxopiperidinyl, dioxopiperidinyl, oxothiazolyl, oxo-oxazolinyl or quinolinyl, unsubstituted or oxo-substituted pyrrolidinyl-C_1-C_4 alkyl, imidazolyl-C_1-C_4 alkyl, benzimidazolyl-C_1-C_4 alkyl, oxadiazolyl-C_1-C_4 alkyl, pyridyl-C_1-C_4 alkyl, oxopiperidinyl-C_1-C_4 alkyl, dioxopiperidinyl-C_1-C_4 alkyl, oxothiazolyl-C_1-C_4 alkyl, oxo-oxazolinyl-C_1-C_4 alkyl or quinolinyl-C_1-C_4 alkyl, morpholinocarbonyl-C_1-C_4 alkyl or unsubstituted or N-C_1-C_4 alkanoylated piperidyl-C_1-C_4 alkyl or unsubstituted or N-C_1-C_4 alkanoylated piperidyl,

or a pharmaceutically acceptable salt thereof.

29. A method according to claim 25, wherein

R_1 is a 2-R_A-3-R_B-phenyl radical, a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical, a 3-R_A-pyridin-2-yl radical or a 1-R_D-indol-3-yl radical, wherein

one of the radicals R_A and R_B is C_1-C_4 alkyl, C_1-C_4 alkoxy-C_1-C_4 alkyl, di-C_1-C_4 alkylamino-C_1-C_4 alkyl, piperidino-C_1-C_4 alkyl, C_1-C_4 alkanoylpiperidinyl-C_1-C_4 alkyl, C_1-C_4 alkoxycarbonylpiperidino-C_1-C_4 alkyl, pyrrolidino-C_1-C_4 alkyl, piperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkanoylpiperazino-C_1-C_4 alkyl, morpholino-C_1-C_4 alkyl, C_1-C_4 alkylmorpholino-C_1-C_4 alkyl, thiomorpholino-C_1-C_4 alkyl, amino-C_1-C_7 alkoxy, C_1-C_4 alkanoylamino-C_1-C_4 alkoxy, di-C_1-C_4 alkylamino-C_1-C_4 alkoxy, piperidino-C_1-C_4 alkoxy, morpholino-C_1-C_4 alkoxy, hydroxy, C_1-C_7 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxy-C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkenyloxy, amino-C_1-C_4

alkoxy, C_1-C_4 alkanoylamino-C_1-C_4 alkoxy, di-+C_1-C_4 alkylamino-C_1-C_4 alkoxy, piperidino-C_1-C_4 alkoxy, morpholino-C_1-C_4 alkoxy, carbamoyl or carbamoyl-C_1-C_4 alkoxy, and the other is hydrogen, C_1-C_4 alkyl, such as methyl, hydroxy or C_1-C_4 alkoxy,

R_C is hydrogen, hydroxy, C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxy, morpholino-C_1-C_4 alkylcarbamoyl-C_1-C_4 alkoxy, di-C_1-C_4 alkylamino-C_1-C_4 alkyl, piperidino-C_1-C_4 alkyl, C_1-C_4 alkoxycarbonylpiperidino-C_1-C_4 alkyl, pyrrolidino-C_1-C_4 alkyl, piperazinocarbonyl-C_1-C_4 alkyl, N'-C_1-C_4 alkylpiperazinocarbonyl-C_1-C_4 alkyl, N'-C_1-C_4 alkanoylpiperazinocarbonyl-C_1-C_4 alkyl, morpholino, morpholino-C_1-C_4 alkyl, thiomorpholino-C_1-C_4 alkyl, C_1-C_4 alkoxy, amino-C_1-C_1-C_4 alkoxy, C_1-C_4 alkanoylamino-C_1-C_4 alkoxy, di-C_1-C_4 alkylamino-C_1-C_4 alkoxy, piperidino-C_1-C_4 alkoxy, morpholino-C_1-C_4 alkoxy, morpholino-C_1-C_4 alkylcarbamoyl-C_1-C_4 alkoxy, carboxy, carbamoyl, C_1-C_4 alkylcarbamoyl, carboxy-C_1-C_4 alkoxy, carbamoyl-C_1-C_4 alkoxy, C_1-C_4 alkylcarbamoyl-C_1-C_4 alkoxy, di-C_1-C_4 alkylamino-C_1-C_4 alkoxy or tetrazolyl-C_1-C_4 alkoxy, and

R_D is C_1-C_4 alkyl, C_1-C_4 alkoxy-C_1-C_4 alkyl, carbamoyl-C_1-C_4 alkyl, C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, di-C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, piperidino-C_1-C_4 alkyl, or C_1-C_4 alkoxycarbonylpiperidino-C_1-C_4 alkyl,

one of the radicals X_1 and X_2 is carbonyl and the other is methylene,

R_2 is C_1-C_4 alkyl,

R_3 is amino or C_1-C_4 alkanoylamino,

R_4 is C_1-C_4 alkyl, and

R_5 is C_1-C_4 alkyl, C_1-C_4 alkoxy-C_1-C_4 alkyl, C_1-C_4 alkoxycarbonylpiperidino-C_1-C_4 alkyl, pyrrolidino-C_1-C_4 alkyl, N'-C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkoxycarbonylpiperazino-C_1-C_4 alkyl or N'-C_1-C_7 alkanoylpiperazino-C_1-C_4 alkyl, morpholino-C_1-C_4 alkyl, thiomorpholino-C_1-C_4 alkyl, morpholinocarbonyl-C_1-C_4 alkyl, carbamoyl-C_1-C_4 alkyl, C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, di-C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, piperidinocarbonyl-C_1-C_4 alkyl, piperazinocarbonyl-C_1-C_4 alkyl, N'-C_1-C_4 alkylpiperazinocarbonyl-

C_1-C_4 alkyl, N'-C_1-C_4 alkanoylpiperazinocarbonyl-C_1-C_4 alkyl, N'-C_1-C_4 alkylpiperazinocarbonyl-C_1-C_4 alkyl, or morpholinocarbonyl-C_1-C_4 alkyl,

or a pharmaceutically acceptable salt thereof.

30. A method according to claim 23, wherein

R_1 is a 2-R_A-4-R_C-phenyl radical, a 2-R_A-pyridin-3-yl radical or a 3-R_A-pyridin-2-yl radical, wherein

R_A is C_1-C_4 alkoxy-C_1-C_4 alkyl, morpholino-C_1-C_4 alkyl, C_1-C_7 alkanoylpiperazino-C_1-C_4 alkyl, C_1-C_7 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkoxy, C_1-C_4 alkoxy-C_1-C_4 alkenyloxy, C_1-C_4 alkoxy-C_1-C_4 alkoxy-C_1-C_4 alkoxy, amino-C_1-C_4 alkoxy, di-C_1-C_4 alkylamino-C_1-C_4 alkoxy, carbamoyl-C_1-C_4 alkoxy or carbamoyl, and

R_C is hydrogen, di-C_1-C_4 alkylamino-C_1-C_4 alkyl, piperidino-C_1-C_4 alkyl, pyrrolidino-C_1-C_4 alkyl, morpholino-C_1-C_4 alkyl, C_1-C_4 alkanoylpiperazino-C_1-C_7 alkyl, or C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, morpholino-C_1-C_4 alkoxy, morpholino-C_1-C_4 alkylcarbamoyl-C_1-C_4 alkoxy, piperidino-C_1-C_4 alkoxy, carboxy, carbamoyl, C_1-C_4 alkylcarbamoyl, carboxy-C_1-C_4 alkoxy, di-C_1-C_4 alkylamino-C_1-C_4 alkoxy, C_1-C_4 alkylcarbamoyl-C_1-C_4 alkoxy or tetrazolyl-C_1-C_7 alkoxy,

X_1 is carbonyl and X_2 is methylene,

R_2 and R_4 are each independently of the other C_1-C_4 alkyl,

R_3 is amino and

R_5 is C_1-C_4 alkyl, morpholino-C_1-C_4 alkyl, thiomorpholino-C_1-C_4 alkyl, morpholinocarbonyl-C_1-C_4 alkyl, carbamoyl-C_1-C_4 alkyl, C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, di-C_1-C_4 alkylcarbamoyl-C_1-C_4 alkyl, N'-C_1-C_4 alkylpiperazino-C_1-C_4 alkyl, N'-C_1-C_4 alkoxycarbonylpiperazino-C_1-C_4 alkyl or N'-C_1-C_7 alkanoylpiperazino-C_1-C_4 alkyl,

or a pharmaceutically acceptable salt thereof.